

Paulson *et al.*

Serial No. 08/063,181

Page 2

96. The method of claim 95, wherein the carbohydrate compound is an oligosaccharide.

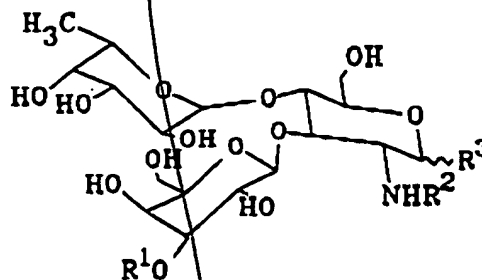
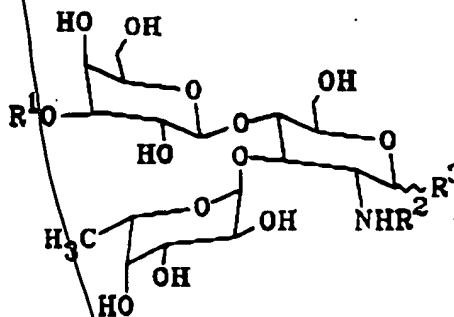
97. The method of claim 96, wherein the oligosaccharide includes a sialic acid residue.

98. The method of claim 97, wherein the oligosaccharide includes a moiety having the formula:



a'
Sub
B1

99. The method of claim 95, wherein the carbohydrate compound is selected from the group consisting of compounds of formula I and compounds of formula II,



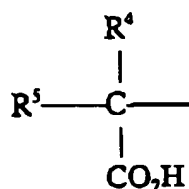
Paulson *et al.*

Serial No. 08/063,181

Page 3

in which:

R¹ is selected from the group consisting of an oligosaccharide, a monosaccharide and a group having the formula III

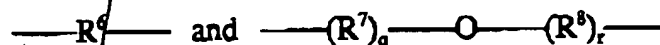


III

in which:

R⁴ and R⁵ taken individually are the same or different and are selected from the group consisting of H, C₁-C₈ alkyl, hydroxy-(C₁-C₈ alkyl), aryl-(C₁-C₈ alkyl), and (C₁-C₈ alkoxy)-(C₁-C₈ alkyl), substituted or unsubstituted, or

R⁴ and R⁵ form a single radical which is selected from the group consisting of



in which R⁶ is C₃-C₇ divalent alkyl, substituted or unsubstituted, R⁷ and R⁸ are the same or different and are C₁-C₆ divalent alkyl, substituted or unsubstituted, and q and r are the same or different and are zero or 1 such that the sum of q and r is at least 1;

the substitutions in the substituted groups being selected from the group consisting of hydroxy, hydroxy(C₁-C₄ alkyl), polyhydroxy(C₁-C₄ alkyl), and alkanoamido;

R² is selected from the group consisting of (C₁-C₈ alkyl)carbonyl, (C₁-C₈ alkoxy)carbonyl, and (C₂-C₈ alkenyloxy)carbonyl;

Paulson *et al.*

Serial No. 08/063,181

Page 4

R^3 is selected from the group consisting of an oligosaccharide, a monosaccharide, H, OH, C_1 - C_{20} alkyl, C_1 - C_{20} alkoxy, aryl- $(C_1$ - C_8 alkyl), $(C_1$ - C_8 alkyl)-aryl, and alkylthio.

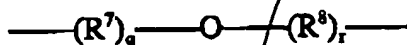
a¹
100. The method of claim 99, wherein the carbohydrate compound has formula I.

101. The method of claim 100, wherein R^1 is a group having formula III.

102. The method of claim 101, wherein R^4 and R^5 are selected from the group consisting of H and C_1 - C_8 alkyl.

103. The method of claim 101, wherein R^4 and R^5 are each H.

104. The method of claim 101, wherein R^4 and R^5 form a single radical having the formula



in which R^7 and R^8 are the same or different and are C_1 - C_6 divalent alkyl, substituted or unsubstituted, and q and r are each 1. B

105. The method of claim 104, wherein the radical is a monosaccharide.

106. The method of claim 105, wherein the monosaccharide is a sialic acid.

Sub
B2

107. The method of claim 106, wherein the sialic acid is selected from the group consisting of NeuAc α 2,3 and NeuGc α 2,3.

Paulson *et al.*
Serial No. 08/063,181
Page 5

108. The method of claim 100, wherein R^3 is selected from a group consisting of an oligosaccharide and a monosaccharide.

109. The method of claim 108, wherein R^3 is an oligosaccharide and is $\beta 1,3\text{Gal}\beta 1,4\text{Glc}$.

a¹
110. The method of claim 108, wherein R^3 is a monosaccharide and is selected from the group consisting of Man, GalNAc, and Gal.

111. The method of claim 110, wherein the monosaccharide is selected from the group consisting of $\alpha 1,2\text{Man}$, $\alpha 1,6\text{GalNAc}$, $\alpha 1,2\text{Man}-R^9$, $\alpha 1,6\text{GalNAc}-R^9$, and $\beta 1,3\text{Gal}-R^9$,

wherein R^9 is attached to the anomeric carbon and is selected from the group consisting of $-\text{OH}$, $\text{C}_1\text{-C}_{20}$ alkyl, $\text{C}_1\text{-C}_{20}$ alkoxy, aryl- $(\text{C}_1\text{-C}_8 \text{ alkyl})$, $(\text{C}_1\text{-C}_8 \text{ alkyl})\text{-aryl}$, and alkylthio.

112. The method of claim 111, wherein the monosaccharide is $\beta 1,3\text{Gal}-R^9$.

113. The method of claim 112, wherein R^9 is $\text{C}_1\text{-C}_{20}$ alkoxy.

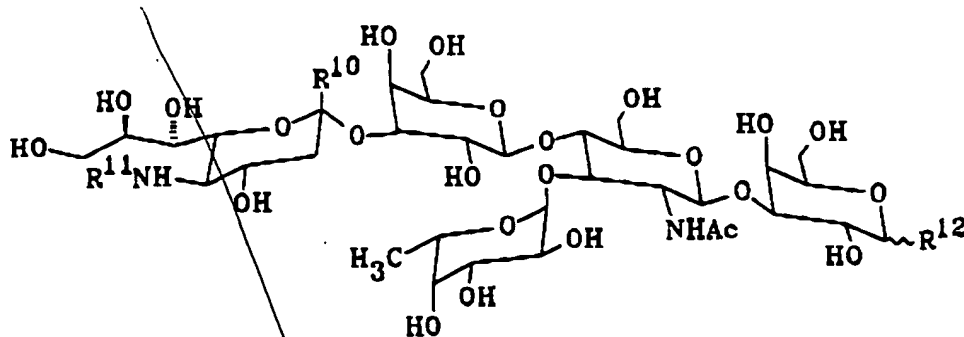
114. A method for inhibiting selectin-mediated intercellular adhesion in a mammal, the method comprising administering to the mammal a therapeutically effective dose of a pharmaceutical composition comprising a pharmaceutically acceptable carrier and a compound having the formula:

⊙

Paulson *et al.*

Serial No. 08/063,181

Page 6



wherein R^{10} is selected from the group consisting of a carboxylic acid moiety and a carboxylic acid salt, R^{11} is selected from the group consisting of an acetyl and a glycolyl radical and R^{12} is C_1 - C_{20} alkoxy.

115. The method of claim 114, wherein R^{12} is ethoxy.

116. The method of claim 114, wherein R^{10} is a salt of carboxylic acid.

117. The method of claim 116, wherein the salt is a sodium salt.

118. The method of claim 114, wherein R^{11} is acetyl.

119. The method of claim 114, wherein the compound has the formula:

